Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptansc1625

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 3	MAR 16	CASREACT coverage extended
NEWS 4	MAR 20	MARPAT now updated daily
NEWS 5	MAR 22	LWPI reloaded
NEWS 6	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 7	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS 8	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS 9	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS 10	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 11	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS 12	MAY 01	New CAS web site launched
NEWS 13	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS 14	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 15	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS 16	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS 17	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS 18	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents
NEWS 19	JUN 27	CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20	JUN 29	STN Viewer now available
NEWS 21	JUN 29	STN Express, Version 8.2, now available
NEWS 22	JUL 02	LEMBASE coverage updated
NEWS 23	JUL 02	LMEDLINE coverage updated
NEWS 24	JUL 02	SCISEARCH enhanced with complete author names
NEWS 25	JUL 02	CHEMCATS accession numbers revised
NEWS 26	JUL 02	CA/CAplus enhanced with utility model patents from China
NEWS 27	JUL 16	CAplus enhanced with French and German abstracts
NEWS 28	JUL 18	CA/CAplus patent coverage enhanced
NEWS 29	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 30	JUL 30	USGENE now available on STN

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that

specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:36:41 ON 06 AUG 2007

=> logoff h

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 08:37:10 ON 06 AUG 2007

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptansc1625

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'HOME' AT 08:45:33 ON 06 AUG 2007
FILE 'HOME' ENTERED AT 08:45:33 ON 06 AUG 2007

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	0.42

FILE 'REGISTRY' ENTERED AT 08:45:51 ON 06 AUG 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 AUG 2007 HIGHEST RN 944028-34-6
DICTIONARY FILE UPDATES: 3 AUG 2007 HIGHEST RN 944028-34-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

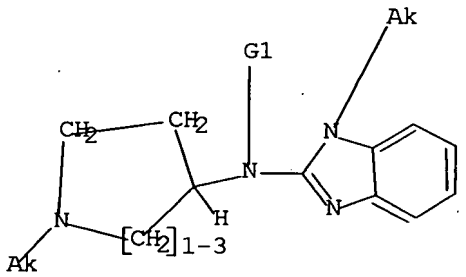
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> activate b596519/A
L1 STR
L2 2240 SEA FILE=REGISTRY SSS FUL L1

=> d l1
L1 HAS NO ANSWERS
L1 STR

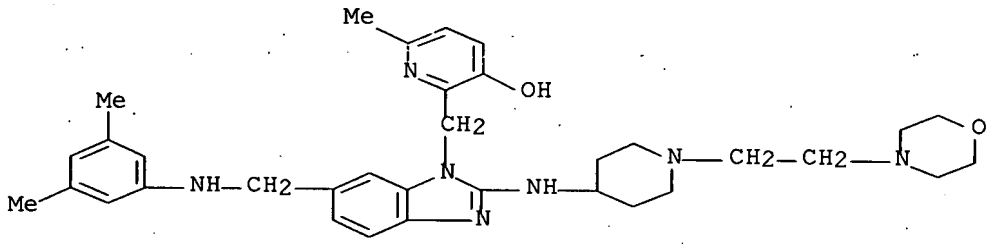


G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> d scan l2

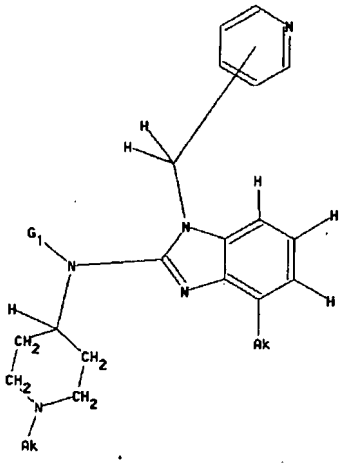
L2 2240 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[1-[2-(4-morpholinyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI)
MF C34 H45 N7 O2

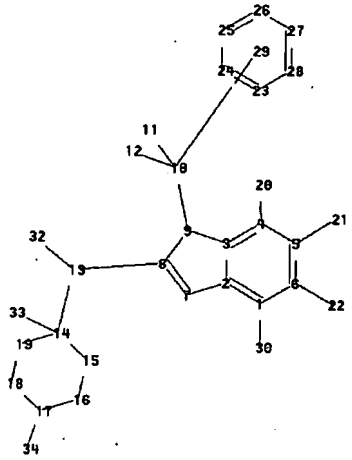


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>
Uploading C:\Program Files\Stnexp\Queries\10596519aaa.str





chain nodes :
10 11 12 13 20 21 22 30 32 33 34
ring nodes :
1 2 3 4 5 6 7 8 9 14 15 16 17 18 19 23 24 25 26 27 28
chain bonds :
1-30 4-20 5-21 6-22 8-13 9-10 10-11 10-12 13-14 13-32 14-33 17-34
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 14-15 14-19 15-16 16-17 17-18
18-19 23-24 23-28 24-25 25-26 26-27 27-28
exact/norm bonds :
1-30 2-7 3-9 7-8 8-9 8-13 9-10 13-14 13-32 14-15 14-19 15-16 16-17 17-
18
17-34 18-19
exact bonds :
4-20 5-21 6-22 10-11 10-12 14-33
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28

G1:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS 21:CLASS
22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:CLASS
32:CLASS
33:CLASS 34:CLASS

L3 STRUCTURE UPLOADED

=> d l3

L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s sss subset=l2 sam
ENTER LOGIC EXPRESSION, QUERY NAME, OR (END):end
SEARCH ENDED BY USER

=> s l3 subset=l2 sam
SAMPLE SUBSET SEARCH INITIATED 08:48:45 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED - 119 TO ITERATE

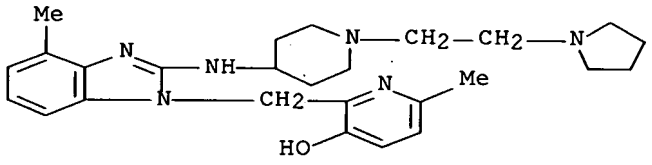
100.0% PROCESSED 119 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 1726 TO 3034
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 6 TO 266

L4 6 SEA SUB=L2 SSS SAM L3

=> d scan

L4 6 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[[1-[2-(1-pyrrolidinyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI)
MF C26 H36 N6 O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l3 subset=l2 full
FULL SUBSET SEARCH INITIATED 08:49:12 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 2240 TO ITERATE

100.0% PROCESSED 2240 ITERATIONS 64 ANSWERS
SEARCH TIME: 00.00.01

L5 64 SEA SUB=L2 SSS FUL L3

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	43.35	43.77

FILE 'CAPLUS' ENTERED AT 08:49:35 ON 06 AUG 2007

FILE COVERS 1907 - 6 Aug 2007 VOL 147 ISS 7
FILE LAST UPDATED: 3 Aug 2007 (20070803/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l5
L6 11 L5

=> d ibib abs hitstr 1-11

L6 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:647626 CAPLUS Full-text
DOCUMENT NUMBER: 145:224185
TITLE: Cold virus fusion or stopping fusion cold - inhibitors of the human respiratory syncytial virus F protein
AUTHOR(S): Del Vecchio, Alfred M.; Sarisky, Robert T.
CORPORATE SOURCE: Infectious Diseases Research, Centocor, Inc., Radnor, PA, 19087, USA
SOURCE: Recent Patents on Anti-Infective Drug Discovery (2006), 1(2), 247-254
CODEN: RPADCX; ISSN: 1574-891X
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

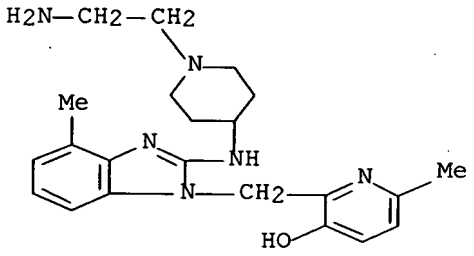
AB A review. Human respiratory syncytial virus (HRSV) is a major respiratory viral pathogen causing moderate to severe upper and lower respiratory tract infections in all ages and across a wide range of patient populations. There are no currently approved vaccines and although a number of candidates are in various stages of development, the challenges are quite substantial. Presently, only a single agent is approved for HRSV prophylaxis, and therapeutic treatment options are severely limited and ineffective, particularly in the infant population. Antibody prophylaxis is restricted to use in populations at high-risk for hospitalization (infants under 35 wk gestational age, infants with chronic lung disease, and infants with congenital heart disease). Aerosol administration of the guanosine analog ribavirin has been approved for the treatment of severe HRSV LRTI in both children and mech. ventilated patients; however, there is still debate over

its overall benefit and the risks associated with its use. Current therapy for those hospitalized due to HRSV is supportive. As such, there is great medical need for the development of agents to prevent and treat HRSV infections in all populations. Interestingly, many of the discovered agents against HRSV, both neutralizing antibodies and small mols. inhibitors, target the viral fusion (F) glycoprotein. In particular, three distinct chemical classes as exemplified by JNJ-2408068, VP-14637, and BMS-433771, which appear to block conformational intermediates of the viral fusion protein are reviewed.

IT 317846-22-3, JNJ-2408068
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cold virus fusion or stopping fusion cold - inhibitors of human respiratory syncytial virus F protein)

RN 317846-22-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1042075 CAPLUS Full-text
DOCUMENT NUMBER: 143:347207
TITLE: Preparation of RSV replication-inhibiting benzodiazepine derivatives for use in pharmaceutical compositions in combination with RSV fusion protein inhibitors
INVENTOR(S): Powell, Kenneth; Kelsey, Richard; Carter, Malcolm; Dowdell, Verity; Alber, Dagmar; Henderson, Elisa
PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089771	A1	20050929	WO 2005-GB1029	20050318

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,

SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2005224159	A1	20050929	AU 2005-224159		20050318
CA 2557931	A1	20050929	CA 2005-2557931		20050318
EP 1727551	A1	20061206	EP 2005-728747		20050318
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 1933841	A	20070321	CN 2005-80008920		20050318
BR 2005007652	A	20070710	BR 2005-7652		20050318
IN 2006CN03411	A	20070706	IN 2006-CN3411		20060919
PRIORITY APPLN. INFO.:			GB 2004-6279	A	20040319
			WO 2005-GB1029	W	20050318

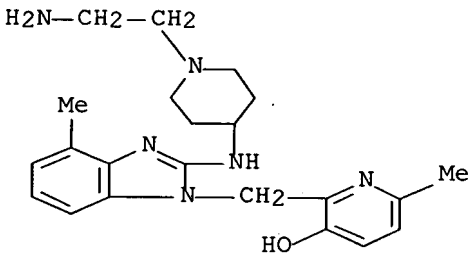
OTHER SOURCE(S): MARPAT 143:347207
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is related to a pharmaceutical composition comprising pharmaceutically acceptable carrier or diluent and: (a) an inhibitor of the respiratory syncytial virus (RSV) fusion protein of formula I [X = H, (un)substituted alkyl; Y = hetero/aryl, alkyl, alkoxy, etc.; Z = CH2 and derivs.; R1 = H, CONH2 and derivs., CO2H and derivs., (un)substituted alkyl; R2 = H, NH2, alkenyl, etc.; R3 = H, alkenyl, CO2H, etc.; Q = 1,2-dihydrobenzotriazol-1-yl, 2,3-dihydroindazol-1-yl, etc.]; and (b) a benzodiazepine derivative of formula II [R1 = alkyl, hetero/aryl; R2 = H, alkyl; each R3 = independently halo, OH, alkyl, alkoxy, NH2, CN, etc.; n = 0-3; R4 = H, alkyl; X = CO, SO, SO2, CONH and derivs.; R5 = (un)substituted hetero/aryl, heterocyclyl] capable of inhibiting RSV replication; the composition provides an additive and synergistic therapeutic effect in treating or preventing an RSV infection. The invention is also related to the preparation of benzodiazepines II. Thus, reacting (S)-3-Amino-5-phenyl-1,3-dihydrobenzo[e][1,4]diazepin-2-one with 2-chloro-4-(morpholin-4-yl)benzoic acid gave (S)-III. The fractional inhibitory concentration (FIC) for benzodiazepine III in combination with benzimidazole IV = 0.3, demonstrating a synergistic interaction.

IT 317846-22-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of RSV replication-inhibiting benzodiazepine derivs. for use in pharmaceutical compns. in combination with RSV fusion protein inhibitors)

RN 317846-22-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



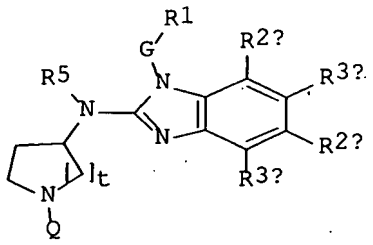
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:567167 CAPLUS Full-text
DOCUMENT NUMBER: 143:97363
TITLE: Preparation of piperidine-amino-benzimidazole derivatives as inhibitors of respiratory syncytial virus replication
INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef Lodewijk; Janssens, Frans Eduard; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

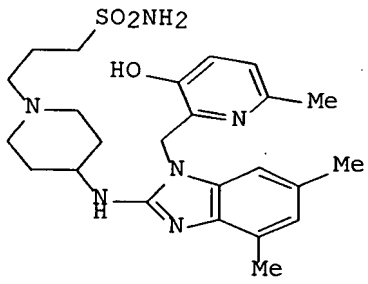
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058873	A1	20050630	WO 2004-EP53606	20041220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004298456	A1	20050630	AU 2004-298456	20041220
CA 2548654	A1	20050630	CA 2004-2548654	20041220
EP 1723136	A1	20061122	EP 2004-804942	20041220
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LV, MK, YU				
CN 1894239	A	20070110	CN 2004-80037284	20041220
BR 2004017668	A	20070403	BR 2004-17668	20041220
JP 2007514715	T	20070607	JP 2006-544461	20041220
US 2007093659	A1	20070426	US 2006-596519	20060615
MX 2006PA07109	A	20060823	MX 2006-PA7109	20060619
PRIORITY APPLN. INFO.:			EP 2003-104802 A	20031218

US 2004-566835P P 20040430
WO 2004-EP53606 W 20041220

OTHER SOURCE(S): MARPAT 143:97363
GI



I

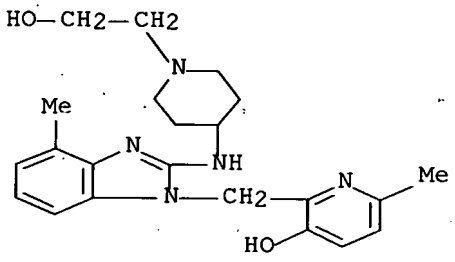


II

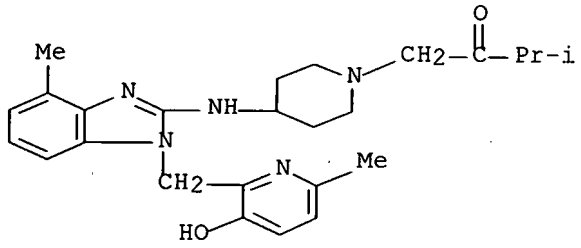
AB The title compds. I [Q = alkyl optionally substituted with CF3, cycloalkyl, hydroxy, alkoxy, etc.; G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; one of R2a and R3a = alkyl and the other one of R2a and R3a = H; in case R2a is different from hydrogen then R2b = H or alkyl, and R3b = H; in case R3a is different from hydrogen then R3b = H or alkyl, and R2b = H; t = 1-3; Ar1 = (un)substituted Ph; R5 = H, alkyl; and their prodrugs, N-oxides, addition salts, quaternary amines, metal complexes and stereochem. isomeric forms] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from 4,5-dimethylbenzimidazol-2-one, was given. The exemplified compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound is disclosed.

IT 856705-85-6P 856706-12-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-(piperidin-4-ylamino)benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 856705-85-6 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxyethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



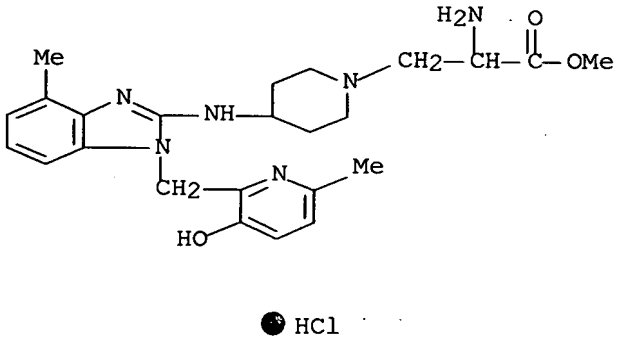
RN 856706-12-2 CAPLUS
CN 2-Butanone, 1-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl- (9CI) (CA INDEX NAME)



IT 856705-79-8P 856705-80-1P 856705-81-2P
856705-82-3P 856705-84-5P 856705-86-7P
856705-87-8P 856705-88-9P 856705-89-0P
856705-90-3P 856705-91-4P 856705-92-5P
856705-93-6P 856705-94-7P 856705-95-8P
856705-96-9P 856705-97-0P 856705-98-1P
856705-99-2P 856706-00-8P 856706-01-9P
856706-02-0P 856706-03-1P 856706-04-2P
856706-05-3P 856706-06-4P 856706-07-5P
856706-08-6P 856706-09-7P 856706-10-0P
856706-11-1P 856706-13-3P 856706-14-4P
856706-15-5P 856706-16-6P 856706-17-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(piperidin-4-ylamino)benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 856705-79-8 CAPLUS

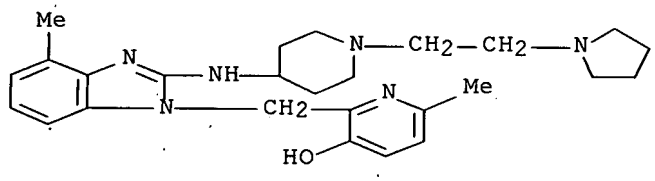
CN 1-Piperidinepropanoic acid, α-amino-4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



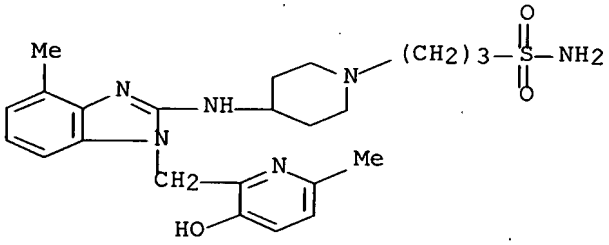
● HCl

RN 856705-80-1 CAPLUS

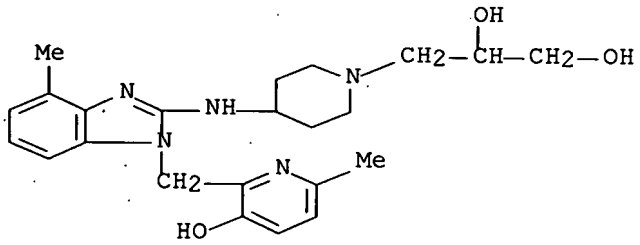
CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[[1-[2-(1-pyrrolidinyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



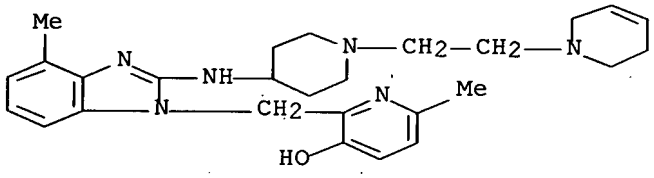
RN 856705-81-2 CAPLUS
CN 1-Piperidinepropanesulfonamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 856705-82-3 CAPLUS
CN 1,2-Propanediol, 3-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]- (9CI) (CA INDEX NAME)

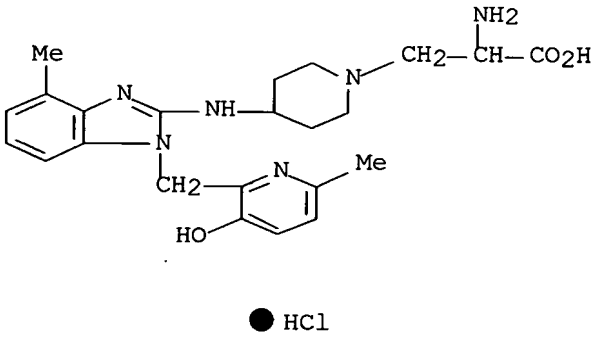


RN 856705-84-5 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-(3,6-dihydro-1(2H)-pyridinyl)ethyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



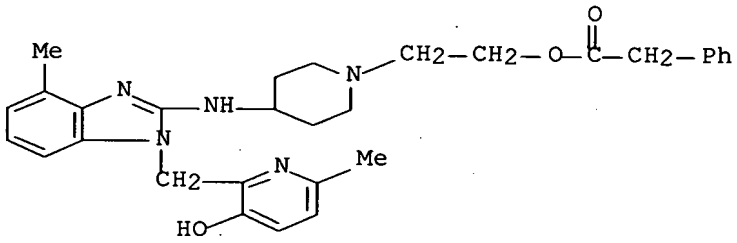
RN 856705-86-7 CAPLUS

CN 1-Piperidinepropanoic acid, α-amino-4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



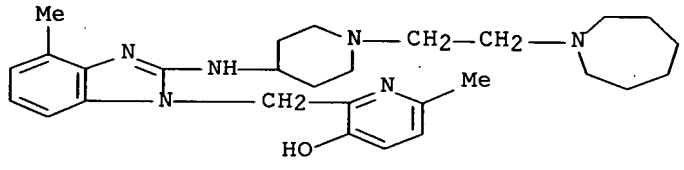
RN 856705-87-8 CAPLUS

CN Benzeneacetic acid, 2-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl ester (9CI) (CA INDEX NAME)



RN 856705-88-9 CAPLUS

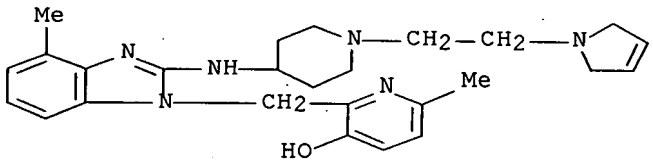
CN 3-Pyridinol, 2-[[2-[[1-[2-(hexahydro-1H-azepin-1-yl)ethyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



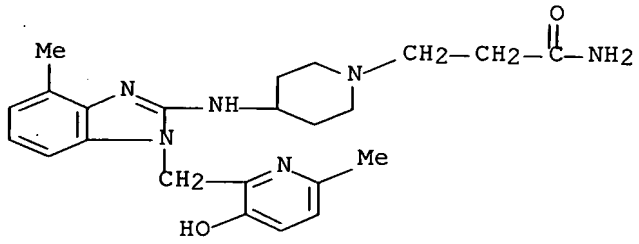
RN 856705-89-0 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-[2-(2,5-dihydro-1H-pyrrol-1-yl)ethyl]-4-

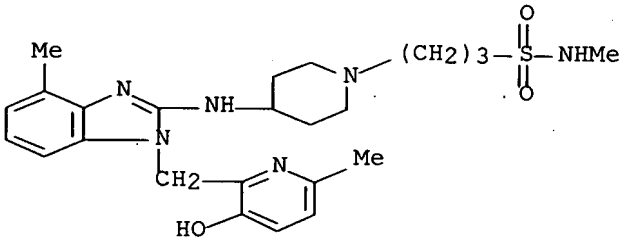
piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



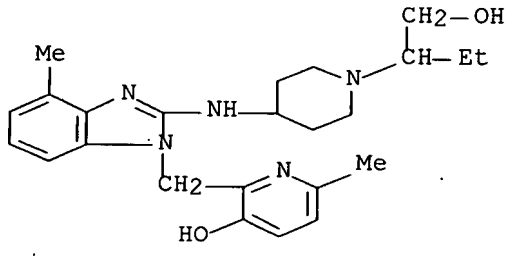
RN 856705-90-3 CAPLUS
CN 1-Piperidinepropanamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



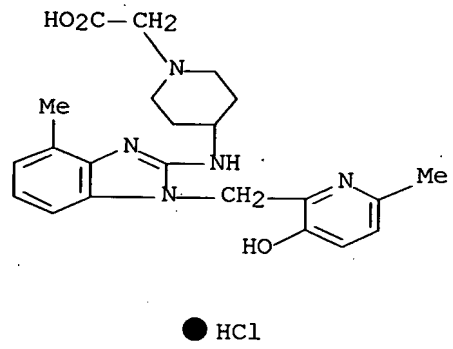
RN 856705-91-4 CAPLUS
CN 1-Piperidinepropanesulfonamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-N-methyl- (9CI) (CA INDEX NAME)



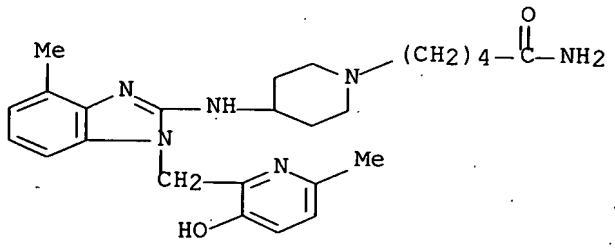
RN 856705-92-5 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[1-(hydroxymethyl)propyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



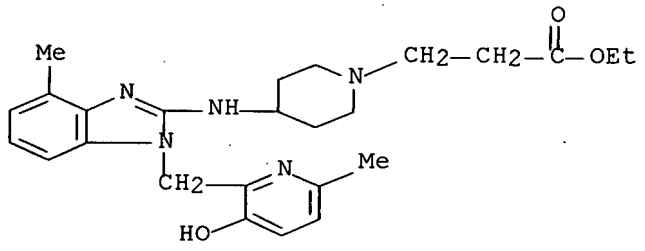
RN 856705-93-6 CAPLUS
CN 1-Piperidineacetic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



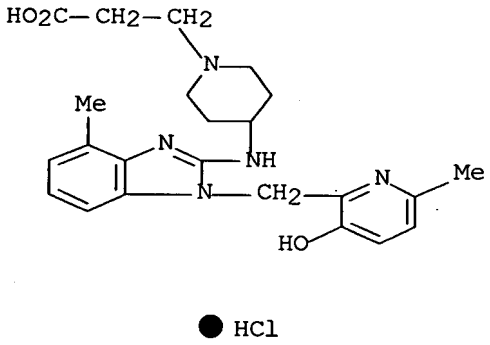
RN 856705-94-7 CAPLUS
CN 1-Piperidinepentanamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 856705-95-8 CAPLUS
CN 1-Piperidinepropanoic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

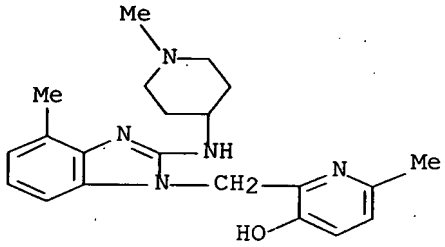


RN 856705-96-9 CAPLUS
CN 1-Piperidinepropanoic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

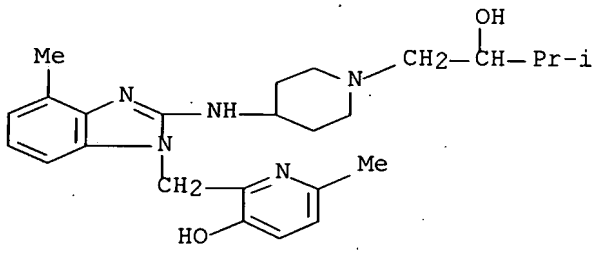


● HCl

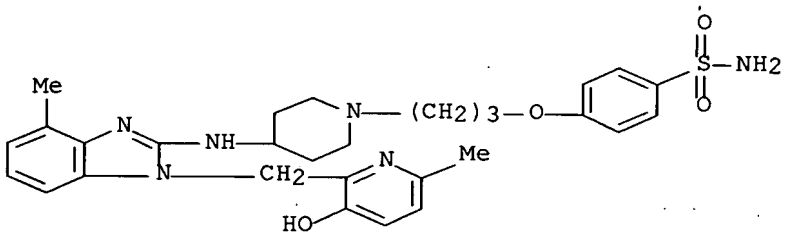
RN 856705-97-0 CAPLUS
CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[(1-methyl-4-piperidinyl)amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



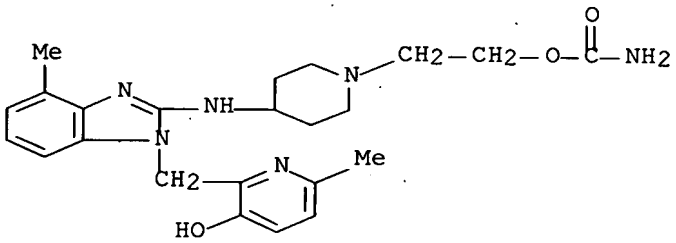
RN 856705-98-1 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-3-methylbutyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



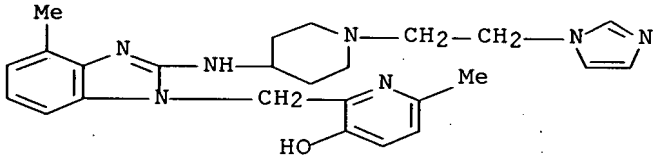
RN 856705-99-2 CAPLUS
CN Benzenesulfonamide, 4-[3-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)



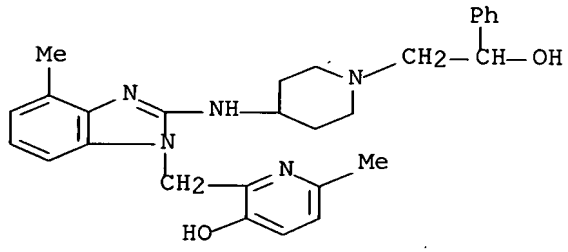
RN 856706-00-8 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-[(aminocarbonyl)oxy]ethyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



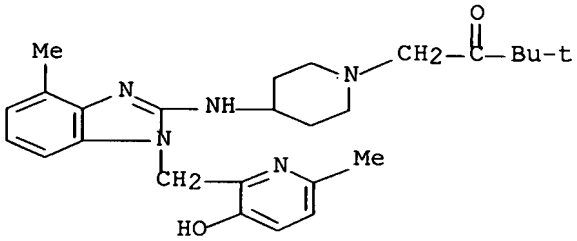
RN 856706-01-9 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-(1H-imidazol-1-yl)ethyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



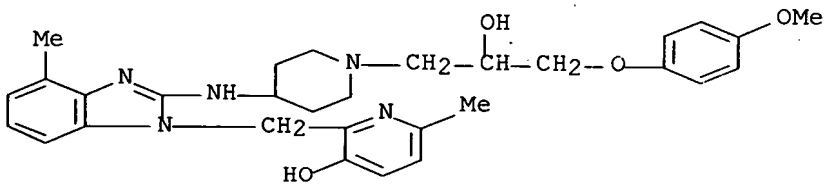
RN 856706-02-0 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-2-phenylethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



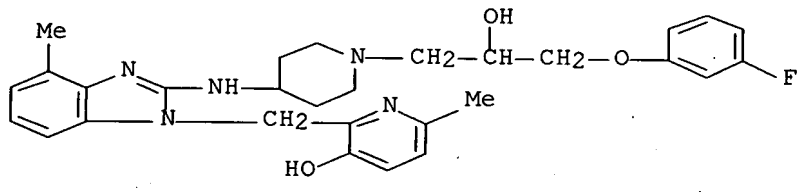
RN 856706-03-1 CAPLUS
CN 2-Butanone, 1-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)



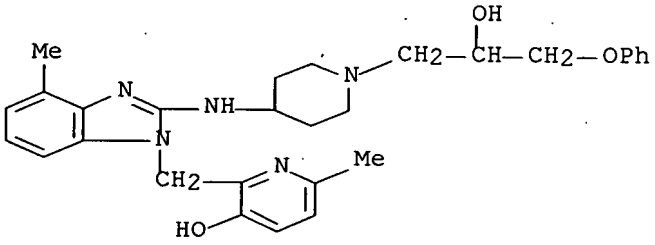
RN 856706-04-2 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[2-hydroxy-3-(4-methoxyphenoxy)propyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



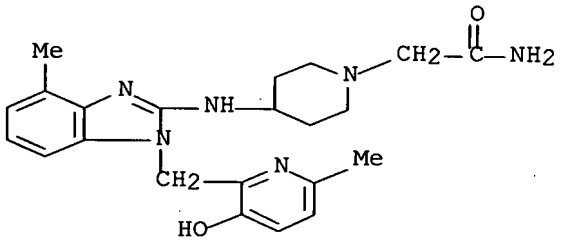
RN 856706-05-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[3-(3-fluorophenoxy)-2-hydroxypropyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



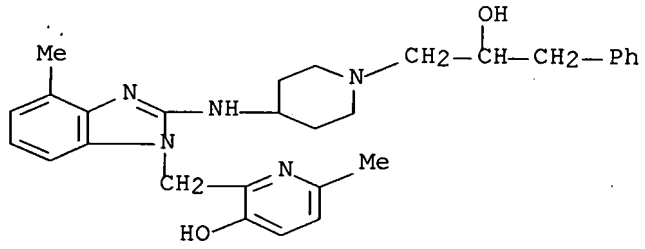
RN 856706-06-4 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-3-phenoxypropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



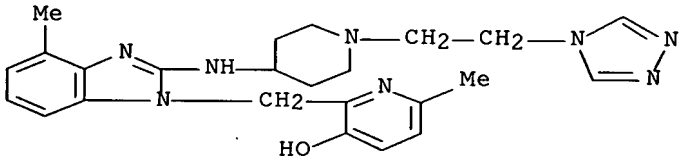
RN 856706-07-5 CAPLUS
CN 1-Piperidineacetamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



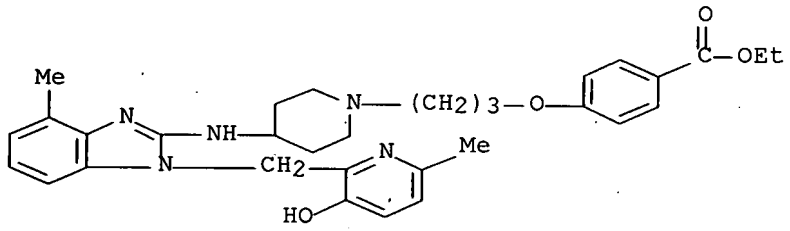
RN 856706-08-6 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-3-phenylpropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



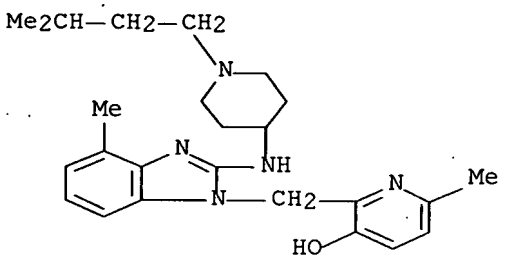
RN 856706-09-7 CAPLUS
CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[[1-[2-(4H-1,2,4-triazol-4-yl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



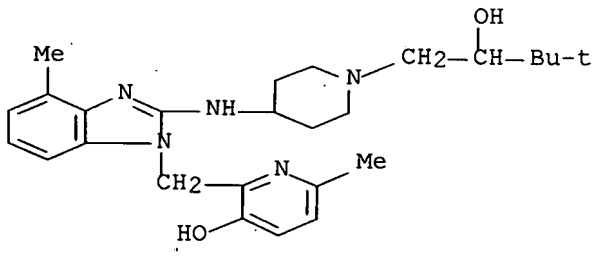
RN 856706-10-0 CAPLUS
CN Benzoic acid, 4-[3-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]propoxy]-, ethyl ester (9CI) (CA INDEX NAME)



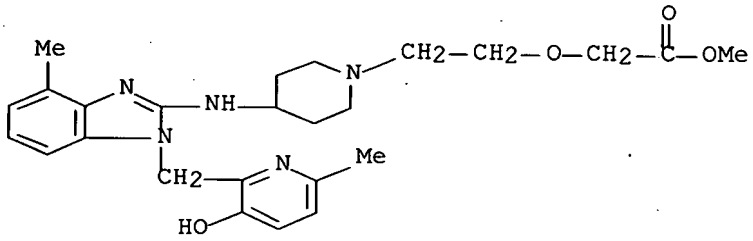
RN 856706-11-1 CAPLUS
CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[[1-(3-methylbutyl)-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



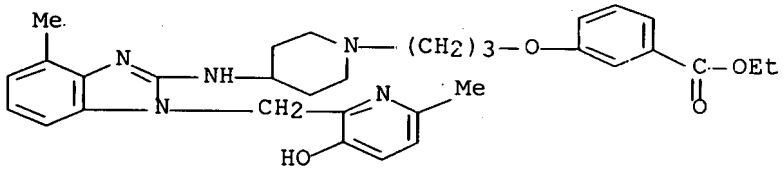
RN 856706-13-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-hydroxy-3,3-dimethylbutyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



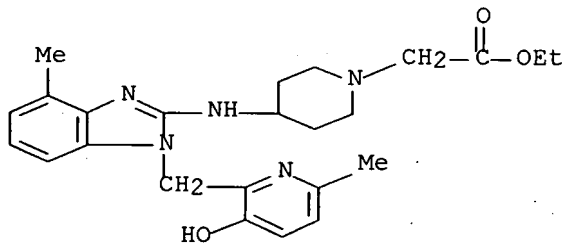
RN 856706-14-4 CAPLUS
CN Acetic acid, [2-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethoxy]-, methyl ester (9CI) (CA INDEX NAME)



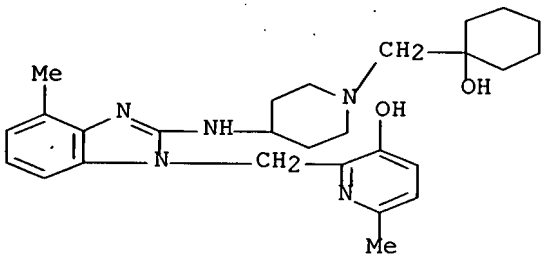
RN 856706-15-5 CAPLUS
CN Benzoic acid, 3-[3-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]propoxy]-, ethyl ester (9CI) (CA INDEX NAME)



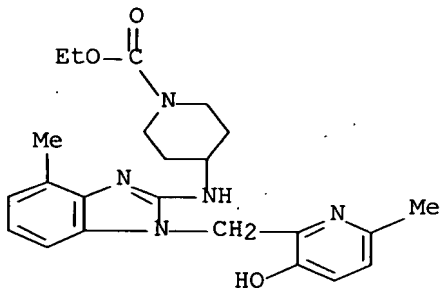
RN 856706-16-6 CAPLUS
CN 1-Piperidineacetic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 856706-17-7 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-[(1-hydroxycyclohexyl)methyl]-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



IT 856706-34-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-(piperidin-4-ylamino)benzimidazoles as inhibitors of respiratory syncytial virus replication)
RN 856706-34-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

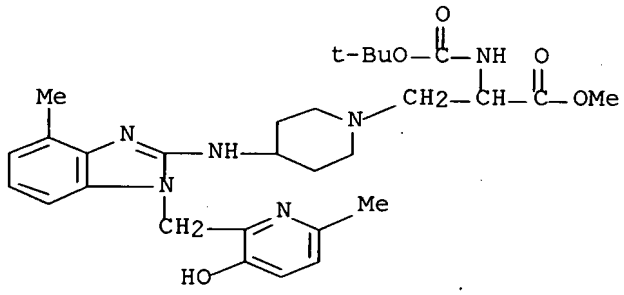


IT 856706-26-8P 856706-27-9P 856706-29-1P
856706-30-4P 856706-31-5P 856706-32-6P
856706-33-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of 2-(piperidin-4-ylamino)benzimidazoles as inhibitors of respiratory syncytial virus replication)

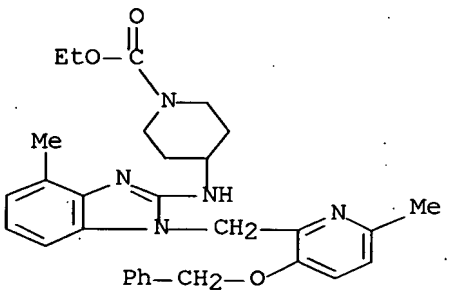
RN 856706-26-8 CAPLUS

CN 1-Piperidinepropanoic acid, α-[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)



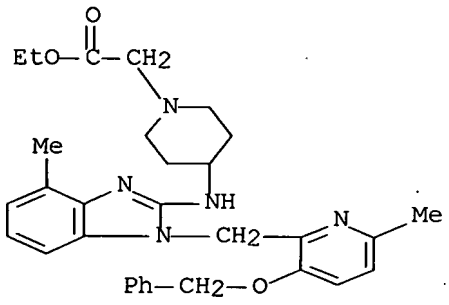
RN 856706-27-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

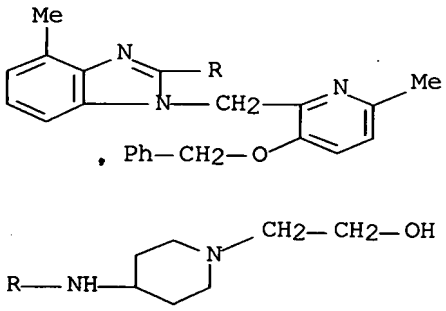


RN 856706-29-1 CAPLUS

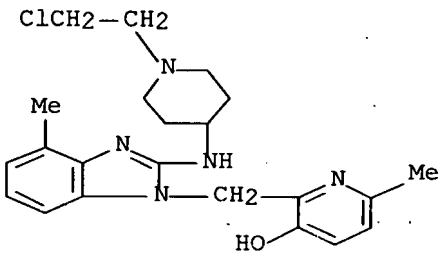
CN 1-Piperidineacetic acid, 4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



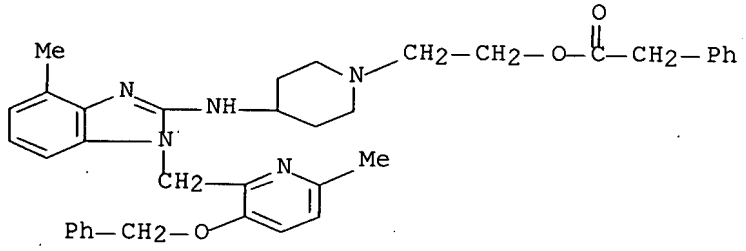
RN 856706-30-4 CAPLUS
CN 1-Piperidineethanol, 4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



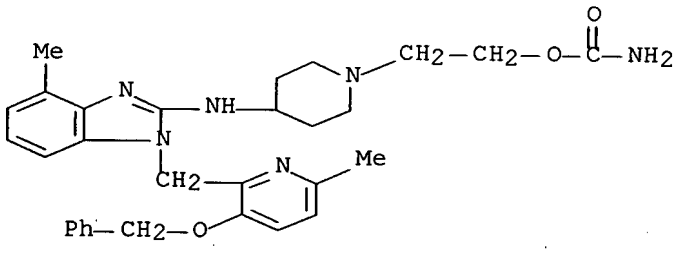
RN 856706-31-5 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-chloroethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 856706-32-6 CAPLUS
CN Benzeneacetic acid, 2-[4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl ester (9CI) (CA INDEX NAME)



RN 856706-33-7 CAPLUS
CN 1-Piperidineethanol, 4-[[4-methyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]-, carbamate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:564655 CAPLUS Full-text
DOCUMENT NUMBER: 143:97374
TITLE: Preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication
INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef Lodewijk; Fortin, Jerome Michel Claude; Muller, Philippe; Doublet, Frederic Marc Maurice; Meyer, Christophe; Willebrords, Rudy Edmond; Gevers, Tom Valerius Josepha; Timmerman, Philip Maria Martha Bern
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058871	A1	20050630	WO 2004-EP53620	20041220

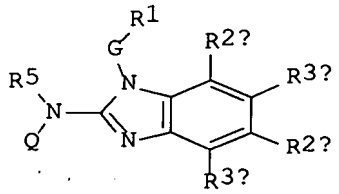
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004298460	A1	20050630	AU 2004-298460	20041220
CA 2548668	A1	20050630	CA 2004-2548668	20041220
EP 1697345	A1	20060906	EP 2004-817576	20041220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
CN 1894237	A	20070110	CN 2004-80037825	20041220
BR 2004017268	A	20070313	BR 2004-17268	20041220
JP 2007514720	T	20070607	JP 2006-544467	20041220
US 2007043022	A1	20070222	US 2006-563691	20060104
MX 2006PA07112	A	20060823	MX 2006-PA7112	20060619
NO 2006003322	A	20060918	NO 2006-3322	20060718
PRIORITY APPLN. INFO.:			EP 2003-104810 A	20031218
			US 2004-567182P P	20040430
			EP 2004-105312 A	20041026
			WO 2004-EP53620 W	20041220

OTHER SOURCE(S): MARPAT 143:97374

GI



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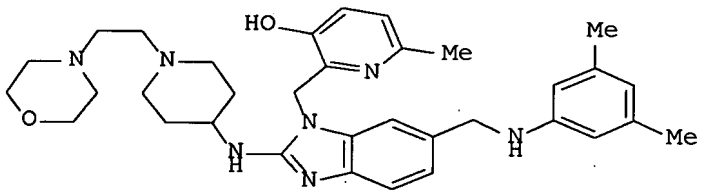


II

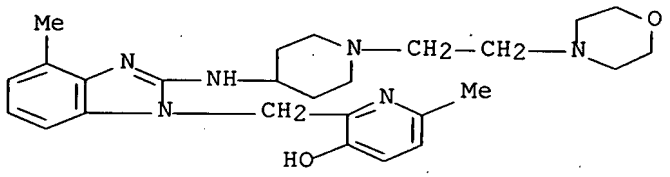
AB The title compds. I [G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; Q = R7, pyrrolidinyl substituted with R7, piperidinyl substituted with R7 or homopiperidinyl substituted with R7; one of R2a and R3a = halo, optionally mono- or polysubstituted alkyl, optionally mono- or polysubstituted alkenyl, nitro, hydroxy, etc.; and the other one of R2a and R3a = H; in case R2a is different from H atom then R2b = H, alkyl or halogen and R3b = H; in case R3a is different from H atom then R3b = H, alkyl or halogen and R2b = H; R5 = H, alkyl; Ar1 = (un)substituted Ph; R7 = alkyl substituted with heterocycle or alkyl substituted with both a radical OR8 and a heterocycle; R8 = H, alkyl, Ar1alkyl; or a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochem. isomeric form thereof] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from Et 3,4-diaminobenzoate, was given. The compds. I were tested for

activity against RSV (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 857068-52-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857068-52-1 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[4-methyl-2-[[1-[2-(4-morpholinyl)ethyl]-4-piperidinyl]amino]-1H-benzimidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:494325 CAPLUS Full-text

DOCUMENT NUMBER: 143:90328

TITLE: Small molecules VP-14637 and JNJ-2408068 inhibit respiratory syncytial virus fusion by similar mechanisms

AUTHOR(S): Douglas, Janet L.; Panis, Marites L.; Ho, Edmund; Lin, Kuei-Ying; Krawczyk, Steve H.; Grant, Deborah M.; Cai, Ruby; Swaminathan, Swami; Chen, Xiaowu; Cihlar, Tomas

CORPORATE SOURCE: Gilead, Foster City, CA, 94404, USA

SOURCE: Antimicrobial Agents and Chemotherapy (2005), 49(6), 2460-2466
CODEN: AMACCQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

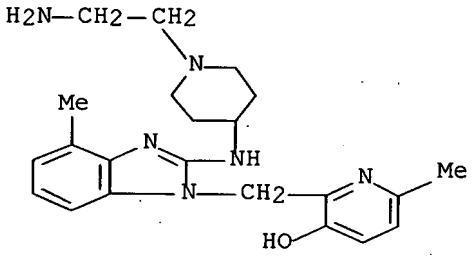
AB Here we present data on the mechanism of action of VP-14637 and JNJ-2408068 (formerly R-170591), two small-mol. inhibitors of respiratory syncytial virus (RSV). Both inhibitors exhibited potent antiviral activity with 50% effective concns. (EC50s) of 1.4 and 2.1 nM, resp. A similar inhibitory effect was observed in a RSV-mediated cell fusion assay (EC50 = 5.4 and 0.9 nM, resp.). Several drug-resistant RSV variants were selected in vitro in the presence of each compound All selected viruses exhibited significant cross-resistance to both inhibitors and contained various single amino acid substitutions in two distinct regions of the viral F protein, the heptad repeat 2 (HR2; mutations D486N, E487D, and F488Y), and the intervening domain between HR1 and HR2 (mutation K399I and T400A). Studies using [3H]VP-14637 revealed a specific binding of the compound to RSV-infected cells that was efficiently inhibited by JNJ-2408068 (50% inhibitory concentration = 2.9 nM) but not by the HR2-derived peptide T-118. Further anal. using a transient T7 vaccinia expression system indicated that RSV F protein is sufficient for this interaction. F proteins containing either the VP-14637 or JNJ-2408068 resistance mutations exhibited greatly reduced binding of [3H]VP-14637. Mol. modeling anal.

suggests that both mols. may bind into a small hydrophobic cavity in the inner core of F protein, interacting simultaneously with both the HR1 and HR2 domains. Altogether, these data indicate that VP-14637 and JNJ-2408068 interfere with RSV fusion through a mechanism involving a similar interaction with the F protein.

IT 317846-22-3, JNJ-2408068
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(small mols. VP-14637 and JNJ-2408068 inhibit respiratory syncytial virus fusion by similar mechanisms by binding into a small hydrophobic cavity in the inner core of F protein, interacting simultaneously with both the HR1 and HR2 domains)

RN 317846-22-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:923920 CAPLUS Full-text

DOCUMENT NUMBER: 140:246197

TITLE: Short duration aerosols of JNJ 2408068 (R170591) administered prophylactically or therapeutically protect cotton rats from experimental respiratory syncytial virus infection

AUTHOR(S): Wyde, Philip R.; Chetty, Srikrishna N.; Timmerman, Philip; Gilbert, Brian E.; Andries, Koen

CORPORATE SOURCE: Department of Molecular Virology and Microbiology, Baylor College of Medicine, Houston, TX, 77030, USA

SOURCE: Antiviral Research (2003), 60(3), 221-231
CODEN: ARSRDR; ISSN: 0166-3542

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

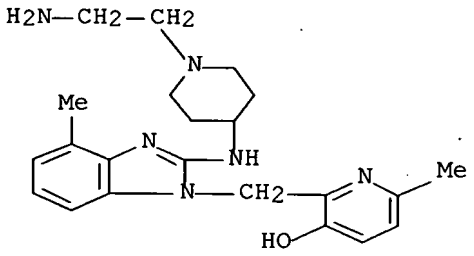
AB Cotton rats exposed to continuous small droplet aerosols of 2[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1- yl]methyl]-6-methyl-3-pyridinol (JNJ 2408068) or its hydrochloric salt for only 15 min, one day prior to virus inoculation or one day after, were significantly protected from pulmonary respiratory syncytial virus (RSV) infection compared to control animals similarly infected but exposed to aerosols of placebo at these times. No evidence of toxicity was seen in any of these animals or in cotton rats administered 10 times the min. cotton rat efficacious dose (i.e. 10+0.39 mg of active compound per kg of body weight) for four continuous days. The marked selective antiviral activity observed in the cotton rats mirrored that seen for these compds. in cytotoxicity and antiviral assays performed against RSV

in vitro. Plasma kinetics and tissue distribution of JNJ 2408068 in cotton rats following inhalation were determined in sep. expts. performed using conditions similar to those utilized in the in vivo efficacy studies. The data from these expts. indicated that significant levels of the test compound were delivered to the lungs of exposed animals, but that extrapulmonary distribution was limited.

IT 317846-22-3, JNJ 2408068
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(short duration aerosols of JNJ 2408068 (R170591) administered prophylactically or therapeutically protect cotton rats from exptl. respiratory syncytial virus infection)

RN 317846-22-3 CAPLUS

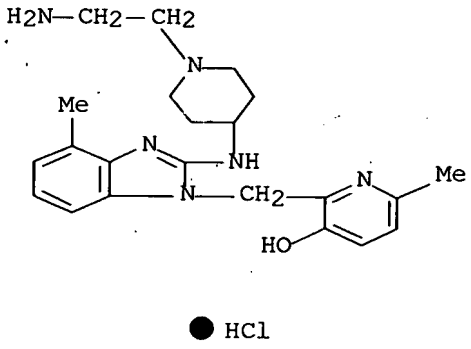
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



IT 669772-70-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(short duration aerosols of JNJ 2408068 (R170591) administered prophylactically or therapeutically protect cotton rats from exptl. respiratory syncytial virus infection)

RN 669772-70-7 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



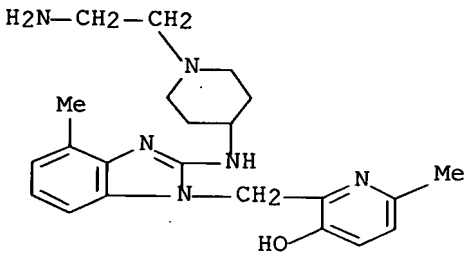
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:923919 CAPLUS Full-text
DOCUMENT NUMBER: 140:296902
TITLE: Substituted benzimidazoles with nanomolar activity against respiratory syncytial virus
AUTHOR(S): Andries, Koen; Moeremans, Marc; Gevers, Tom; Willebrords, Rudy; Sommen, Cois; Lacrampe, Jean; Janssens, Frans; Wyde, Philip R.
CORPORATE SOURCE: Johnson and Johnson Pharmaceutical Research and Development, Beerse, Belg..
SOURCE: Antiviral Research (2003), 60(3), 209-219
CODEN: ARSRDR; ISSN: 0166-3542
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A cell-based assay was used to discover compds. inhibiting respiratory syncytial virus (RSV)-induced fusion in HeLa/M cells. A lead compound was identified and subsequent synthesis of >300 analogs led to the identification of JNJ 2408068 (R170591), a low mol. weight (MW 395) benzimidazole derivative with an EC50 (0.16 nM) against some laboratory strains almost 100,000 times better than that of ribavirin (15 μM). Antiviral activity was confirmed for subgroup A and B clin. isolates of human RSV and for a bovine RSV isolate. The compound did not inhibit the growth of representative viruses from other Paramyxovirus genera, i.e. HPIV2 and Mumps Virus (genus Rubulavirus), HPIV3 (genus Respirovirus), Measles virus (genus Morbillivirus) and hMPV. Efficacy in cytopathic effect inhibition assays correlated well with efficacy in virus yield reduction assays. A concentration of 10 nM reduced RSV production 1000-fold in multi-cycle expts., irresp. of the multiplicity of infection. Time of addition studies pointed to a dual mode of action: inhibition of virus-cell fusion early in the infection cycle and inhibition of cell-cell fusion at the end of the replication cycle. Two resistant mutants were raised and shown to have single point mutations in the F-gene (S398L and D486N). JNJ 2408068 was also shown to inhibit the release of proinflammatory cytokines IL-6, IL-8 and Rantes from RSV-infected A549 cells.

IT 317846-22-3, JNJ 2408068
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(substituted benzimidazoles with nanomolar activity against respiratory syncytial virus)

RN 317846-22-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



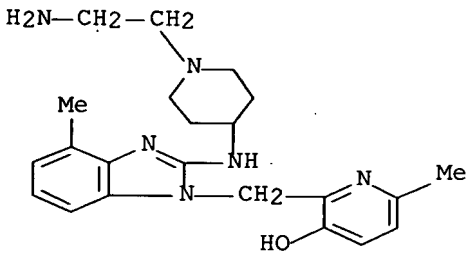
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:742431 CAPLUS Full-text
DOCUMENT NUMBER: 140:192261
TITLE: Comparison of the inhibition of human metapneumovirus and respiratory syncytial virus by ribavirin and immune serum globulin in vitro
AUTHOR(S): Wyde, Philip R.; Chetty, Srikrishna N.; Jewell, Alan M.; Boivin, Guy; Piedra, Pedro A.
CORPORATE SOURCE: Departments of Molecular Virology and Microbiology, Baylor College of Medicine, Houston, TX, 77030, USA
SOURCE: Antiviral Research (2003), 60(1), 51-59
CODEN: ARSRDR; ISSN: 0166-3542
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Human metapneumovirus (hMPV) is a newly recognized pathogen that like its better-known relative, human respiratory syncytial virus (hRSV), appears to be ubiquitous and an important cause of respiratory disease in diverse subpopulations. No antivirals or vaccines are currently approved for the treatment or prevention of hMPV infections. However, ribavirin is licensed to treat serious hRSV-induced infections in children and immune globulin designed for i.v. administration (IVIG) and palivizumab (Synagis), a humanized monoclonal antibody preparation, have been utilized as alternatives to vaccines for preventing or reducing the severity of infections caused by this virus. Because both ribavirin and IVIG have broad viral specificities, studies were performed to compare the ability of these two agents to inhibit the replication of hRSV and hMPV in tissue culture-based assays. Two exptl. chemotherapeutic agents (i.e. VP14637 and JNJ2408068) and different antibody prepns. were included in this testing for comparison. Ribavirin and the IVIG utilized were found to have equivalent antiviral activity against hMPV and hRSV. In contrast, except for antisera specifically raised against hMPV, all of the other materials tested had marked activity only against hRSV.

IT 317846-22-3, JNJ 2408068
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of human metapneumovirus vs. respiratory syncytial virus by ribavirin and immune serum globulin in vitro)

RN 317846-22-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



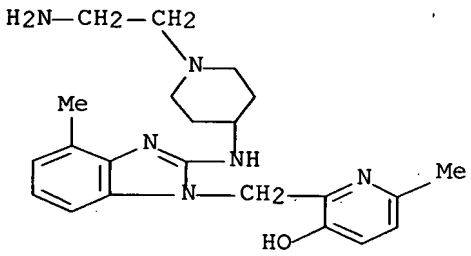
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:495542 CAPLUS Full-text
DOCUMENT NUMBER: 140:56326
TITLE: Structural characterization of respiratory syncytial virus fusion inhibitor escape mutants: homology model of the F protein and a syncytium formation assay
AUTHOR(S): Morton, Craig J.; Cameron, Rachel; Lawrence, Lynne J.; Lin, Bo; Lowe, Melinda; Luttick, Angela; Mason, Anthony; McKimm-Breschkin, Jenny; Parker, Michael W.; Ryan, Jane; Smout, Michael; Sullivan, Jayne; Tucker, Simon P.; Young, Paul R.
CORPORATE SOURCE: Biota Holdings Limited, Victoria, 3004, Australia
SOURCE: Virology (2003), 311(2), 275-288
CODEN: VIRLAX; ISSN: 0042-6822
PUBLISHER: Elsevier Science
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Respiratory syncytial virus (RSV) is a ubiquitous human pathogen and the leading cause of lower respiratory tract infections in infants. Infection of cells and subsequent formation of syncytia occur through membrane fusion mediated by the RSV fusion protein (RSV-F). A novel in vitro assay of recombinant RSV-F function has been devised and used to characterize a number of escape mutants for three known inhibitors of RSV-F that have been isolated. Homol. modeling of the RSV-F structure has been carried out on the basis of a chimera derived from the crystal structures of the RSV-F core and a fragment from the orthologous fusion protein from Newcastle disease virus (NDV). The structure correlates well with the appearance of RSV-F in electron micrographs, and the residues identified as contributing to specific binding sites for several monoclonal antibodies are arranged in appropriate solvent-accessible clusters. The positions of the characterized resistance mutants in the model structure identify two promising regions for the design of fusion inhibitors.

IT 317846-22-3, R 170591
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(homol. model of F protein of respiratory syncytial virus fusion inhibitor escape mutants and a syncytium formation assay)
RN 317846-22-3 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:376893 CAPLUS Full-text
DOCUMENT NUMBER: 138:379184

TITLE: Method for identifying or screening anti-viral agents against respiratory syncytial virus (RSV) using a three-dimensional model of the RSV-F protein

INVENTOR(S): Morton, Craig James; Parker, Michael William; Ryan, Jane

PATENT ASSIGNEE(S): Biota Holdings Ltd., Australia

SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040178	A1	20030515	WO 2002-AU1522	20021108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002340630	A1	20030519	AU 2002-340630	20021108
US 2005221285	A1	20051006	US 2004-492187	20040409

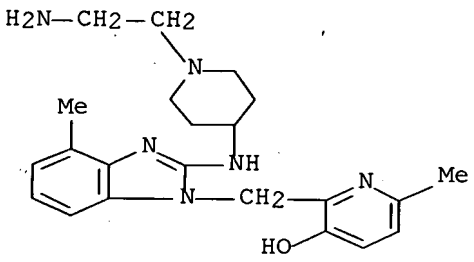
PRIORITY APPLN. INFO.: AU 2001-8784 A 20011109
WO 2002-AU1522 W 20021108

AB The invention relates to anti-viral agents which may be effective for treating, for example, respiratory infections by Respiratory Syncytial Virus (RSV). A three-dimensional structure model of the RSV-F protein has been generated and described which can be used to identify, screen, and/or develop anti-viral agents, including RSV neutralizing antibodies. The three-dimensional structure model comprises, at least, the three-dimensional structure of a anti-viral target site comprising all or part of each of the following amino acids of RSV-F protein: Tyr33, Cys37, Ser38, Ala39, Val40, Ser41, Lys42, Gly43, Leu48, Arg49, Thr50, Lys315, Leu316, His317, Thr318, Ser319, Pro320, Leu321, Cys322, Thr323, Ser330, Asn331, Ile332, Cys333, Leu334, Thr335, Arg336, 20 Thr337, Asp338, Arg339, Phe352, Pro353, Gln354, Ala355, Glu356, Thr357, Cys358, Phe366, Cys367, Asp368, Thr369, Met370, Asn371, Ser372, Leu373, Lys394, Ile395, Met396, Thr397, Ser398, Lys399, Thr400, Asp401, Val402, Ser403, Ser404, Ser405, Val406, Ile407, Thr408, Ser409, Leu410, Gly411, Ala412, Ile413, Val414, Ser415, Lys419, Lys421 and Asp440. The structure model may also be used to develop RSV-binding antibodies useful for diagnostic assays.

IT 317846-22-3
RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(RSV-F inhibitor; method for identifying or screening anti-viral agents against respiratory syncytial virus (RSV) using three-dimensional model of RSV-F protein)

RN 317846-22-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:12444 CAPLUS Full-text
DOCUMENT NUMBER: 134:86248
TITLE: Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.
INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus Marie-Jose; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand; Andries, Koenraad Jozef Lodewijk Marcel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000611	A1	20010104	WO 2000-EP5676	20000620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CA 2376781	A1	20010104	CA 2000-2376781	20000620
BR 2000012054	A	20020319	BR 2000-12054	20000620
EP 1196408	A1	20020417	EP 2000-943841	20000620
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TR 200103804	T2	20020521	TR 2001-3804	20000620
HU 200201723	A2	20021128	HU 2002-1723	20000620
JP 2003503401	T	20030128	JP 2001-507020	20000620
EE 200100692	A	20030217	EE 2001-692	20000620
EE 4590	B1	20060215		
NZ 515418	A	20031128	NZ 2000-515418	20000620
EP 1418175	A1	20040512	EP 2004-100543	20000620
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AU 779516	B2	20050127	AU 2000-58167		20000620
PT 1196408	T	20050131	PT 2000-943841		20000620
ES 2228559	T3	20050416	ES 2000-943841		20000620
AP 1552	A	20060228	AP 2002-2397		20000620
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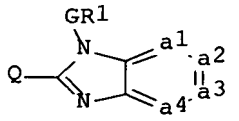
TR 200600172	T1	20070122	TR 2006-172		20000620
TW 248932	B	20060211	TW 2000-89112477		20000626
IN 2001MN01539	A	20050304	IN 2001-MN1539		20011206
MX 2002PA00112	A	20020702	MX 2002-PA112		20011219
HR 2001000933	A1	20030630	HR 2001-933		20011219
ZA 2001010478	A	20030320	ZA 2001-10478		20011220
NO 2001006368	A	20020228	NO 2001-6368		20011227
US 6924287	B1	20050802	US 2001-30202		20011227
BG 106287	A	20021031	BG 2002-106287		20020108
HK 1046141	A1	20060922	HK 2002-107761		20021025
US 2005234047	A1	20051020	US 2005-144103		20050603
US 7173054	B2	20070206			
US 2005239771	A1	20051027	US 2005-144126		20050603
US 7173034	B2	20070206			
US 2006154913	A1	20060713	US 2006-332557		20060112
US 2007021410	A1	20070125	US 2006-519719		20060911
PRIORITY APPLN. INFO.:			EP 1999-202087	A	19990628
			EP 2000-200452	A	20000211
			EP 2000-943841	A3	20000620
			WO 2000-EP5676	W	20000620
			US 2001-30202	A3	20011227
			US 2005-144103	A3	20050603

OTHER SOURCE(S): MARPAT 134:86248

GI



I

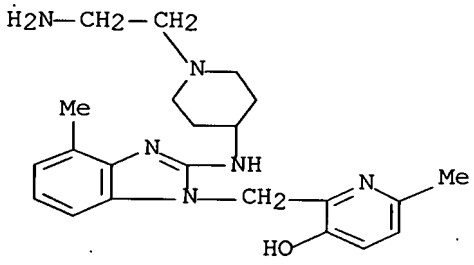
AB Use of title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:N:CH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (heterocyclic) ring, etc.; A = alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H, alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.] for treatment of viral infection is claimed. Thus, 1,1-dimethylethyl 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate was refluxed 6 h in 10N HCl to give 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-c]pyridin-2-yl]methyl]-1H-benzimidazol-2- yl]amino]piperidine. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.00013-2.5119 μM.

IT 317846-21-2P 317846-23-4P 317846-24-5P
317846-25-6P 317846-41-6P 317847-12-4P
317847-13-5P 317847-17-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317846-21-2 CAPLUS

CN 3-Pyridinol, 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

RN 317846-23-4 CAPLUS

CN Butanedioic acid, compd. with 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol (1:1) (9CI) (CA INDEX NAME)

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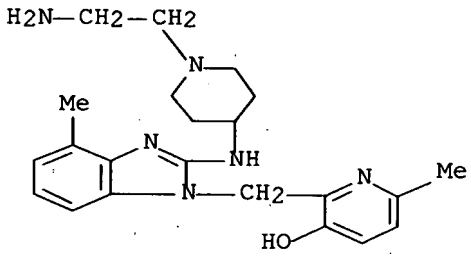
CRN 317846-22-3
CMF C22 H30 N6 O



CM 2

CRN 110-15-6
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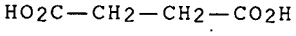
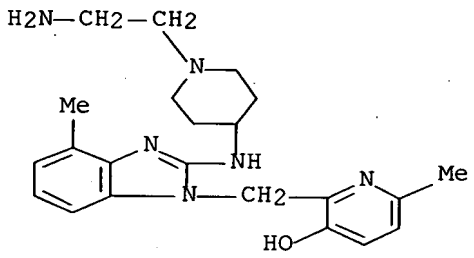


RN 317846-24-5 CAPLUS
CN Butanedioic acid, hydroxy-, compd. with 2-[[2-[[1-(2-aminoethyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-3-pyridinol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 317846-22-3
CMF C22 H30 N6 O



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CRN 6915-15-7
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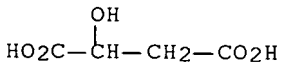
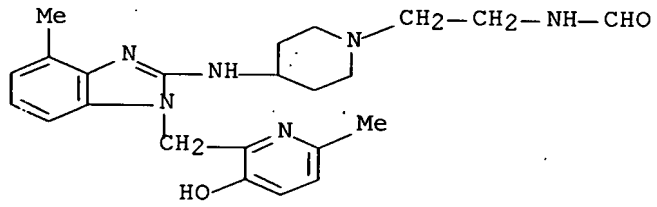
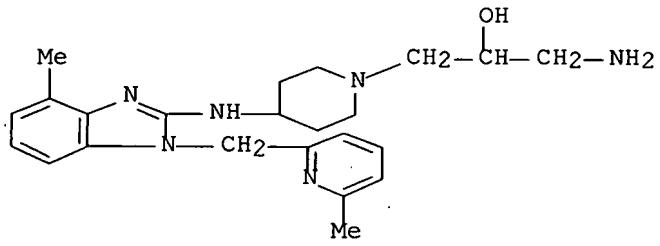


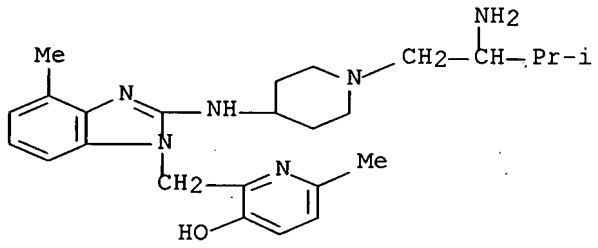
RN 317846-25-6 CAPLUS
CN Formamide, N-[2-[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4-methyl-1H-benzimidazol-2-yl]amino]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



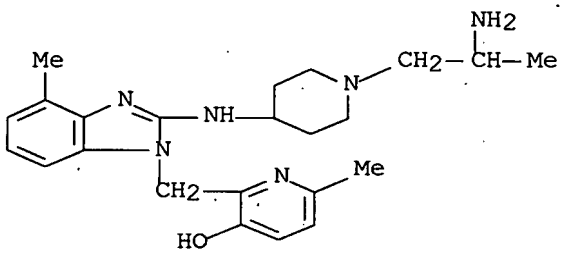
RN 317846-41-6 CAPLUS
CN 1-Piperidineethanol, α-(aminomethyl)-4-[[4-methyl-1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (9CI) (CA INDEX NAME)



RN 317847-12-4 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-amino-3-methylbutyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (9CI) (CA INDEX NAME)



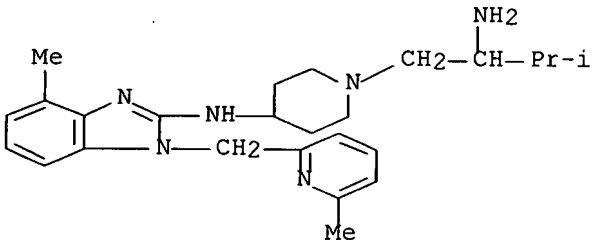
RN 317847-13-5 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminopropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride, trihydrate (9CI) (CA INDEX NAME)



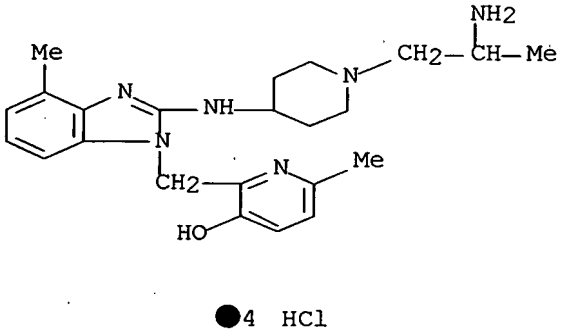
●4 HCl

●3 H_2O

RN 317847-17-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-4-methyl-1-[(6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

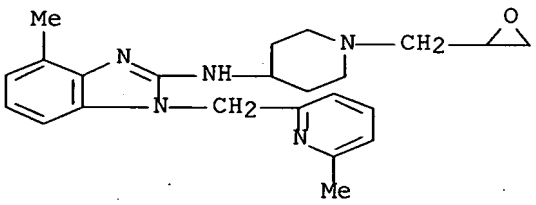


IT 317847-86-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)
RN 317847-86-2 CAPLUS
CN 3-Pyridinol, 2-[[2-[[1-(2-aminopropyl)-4-piperidinyl]amino]-4-methyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, tetrahydrochloride (9CI) (CA INDEX NAME)



●4 HCl

IT 317847-56-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)
RN 317847-56-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 4-methyl-1-[(6-methyl-2-pyridinyl)methyl]-N-[1-(oxiranylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACTIVATE B596519/A

L1 STR
L2 2240 SEA FILE=REGISTRY SSS FUL L1

L3 STRUCTURE UPLOADED
L4 6 S L3 SAM SUB=L2
L5 64 S L3 FULL SUB=L2

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L6 11 S L5

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ANSWER SET L6 HAS BEEN SAVED AS 'BB596519/A'

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	60.79	104.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.58	-8.58

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 AUG 2007 HIGHEST RN 944028-34-6
DICTIONARY FILE UPDATES: 3 AUG 2007 HIGHEST RN 944028-34-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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'BB596519/A' IN USE
A single name cannot be used for two saved items at the same time.
Enter "Y" if you wish to replace the current saved name with a new
definition. Enter "N" if the current saved definition must be
preserved. You may then reenter the SAVE command with a different
saved name. Enter "DISPLAY SAVED" at an arrow prompt (=>) to see a
list of your currently defined saved names.
REPLACE OLD DEFINITION? Y/(N):n

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ANSWER SET L5 HAS BEEN SAVED AS 'BBB596519/A'

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COST IN U.S. DOLLARS

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FULL ESTIMATED COST	0.90	105.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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FILE 'CAPLUS' ENTERED AT 08:54:30 ON 06 AUG 2007
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FILE COVERS 1907 - 6 Aug 2007 VOL 147 ISS 7
FILE LAST UPDATED: 3 Aug 2007 (20070803/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

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FILE 'REGISTRY' ENTERED AT 08:55:41 ON 06 AUG 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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STRUCTURE FILE UPDATES:     3 AUG 2007  HIGHEST RN 944028-34-6
DICTIONARY FILE UPDATES:    3 AUG 2007  HIGHEST RN 944028-34-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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L9 64 L8 AND L2

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.80	110.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-8.58

FILE 'CAPLUS' ENTERED AT 08:57:54 ON 06 AUG 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 6 Aug 2007 VOL 147 ISS 7
FILE LAST UPDATED: 3 Aug 2007 (20070803/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

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L10 2 L9

=> d ibib abs

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:567167 CAPLUS Full-text
DOCUMENT NUMBER: 143:97363
TITLE: Preparation of piperidine-amino-benzimidazole derivatives as inhibitors of respiratory syncytial virus replication
INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef Lodewijk; Janssens, Frans Eduard; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058873	A1	20050630	WO 2004-EP53606	20041220
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CA 2548654	A1	20050630	CA 2004-2548654	20041220
EP 1723136	A1	20061122	EP 2004-804942	20041220
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BR 2004017668	A	20070403	BR 2004-17668	20041220
JP 2007514715	T	20070607	JP 2006-544461	20041220

US 2007093659	A1	20070426	US 2006-596519		20060615
MX 2006PA07109	A	20060823	MX 2006-PA7109		20060619
PRIORITY APPLN. INFO.:			EP 2003-104802	A	20031218
			US 2004-566835P	P	20040430
			WO 2004-EP53606	W	20041220

OTHER SOURCE(S): MARPAT 143:97363
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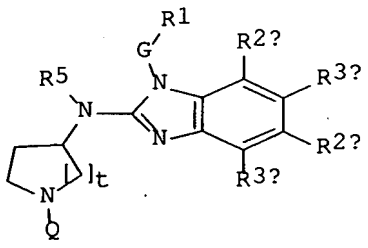

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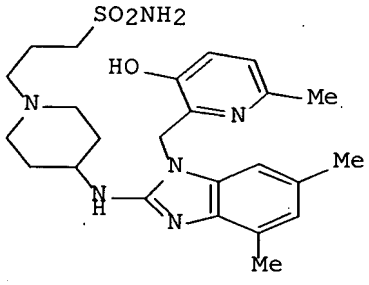

II

AB The title compds. I [Q = alkyl optionally substituted with CF3, cycloalkyl, hydroxy, alkoxy, etc.; G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; one of R2a and R3a = alkyl and the other one of R2a and R3a = H; in case R2a is different from hydrogen then R2b = H or alkyl, and R3b = H; in case R3a is different from hydrogen then R3b = H or alkyl, and R2b = H; t = 1-3; Ar1 = (un)substituted Ph; R5 = H, alkyl; and their prodrugs, N-oxides, addition salts, quaternary amines, metal complexes and stereochem. isomeric forms] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from 4,5-dimethylbenzimidazol-2-one, was given. The exemplified compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound is disclosed.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs 2

L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:564655 CAPLUS Full-text
DOCUMENT NUMBER: 143:97374
TITLE: Preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication
INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef Lodewijk; Fortin, Jerome Michel Claude; Muller, Philippe; Doublet, Frederic Marc Maurice; Meyer, Christophe; Willebrords, Rudy Edmond; Gevers, Tom Valerius Josepha; Timmerman, Philip Maria Martha Bern
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058871	A1	20050630	WO 2004-EP53620	20041220
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004298460	A1	20050630	AU 2004-298460	20041220
CA 2548668	A1	20050630	CA 2004-2548668	20041220
EP 1697345	A1	20060906	EP 2004-817576	20041220
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CN 1894237	A	20070110	CN 2004-80037825	20041220
BR 2004017268	A	20070313	BR 2004-17268	20041220
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US 2007043022	A1	20070222	US 2006-563691	20060104
MX 2006PA07112	A	20060823	MX 2006-PA7112	20060619
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PRIORITY APPLN. INFO.:			EP 2003-104810 A	20031218
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			EP 2004-105312 A	20041026
			WO 2004-EP53620 W	20041220

OTHER SOURCE(S): MARPAT 143:97374

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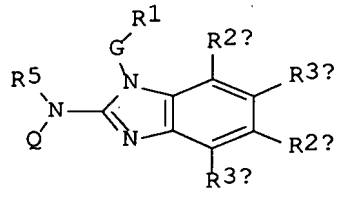

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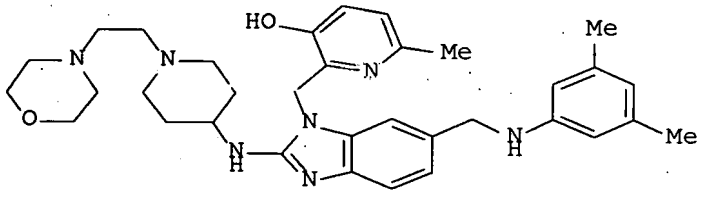

II

AB The title compds. I [G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; Q = R7, pyrrolidinyl substituted with R7, piperidinyl substituted with R7 or homopiperidinyl substituted with R7; one of R2a and R3a = halo, optionally mono- or polysubstituted alkyl,

optionally mono- or polysubstituted alkenyl, nitro, hydroxy, etc.; and the other one of R2a and R3a = H; in case R2a is different from H atom then R2b = H, alkyl or halogen and R3b = H; in case R3a is different from H atom then R3b = H, alkyl or halogen and R2b = H; R5 = H, alkyl; Ar1 = (un)substituted Ph; R7 = alkyl substituted with heterocycle or alkyl substituted with both a radical OR8 and a heterocycle; R8 = H, alkyl, Ar1alkyl; or a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochem. isomeric form thereof] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from Et 3,4-diaminobenzoate, was given. The compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound I is disclosed.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.60	116.89

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.56	-10.14

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 08:59:02 ON 06 AUG 2007